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(54) Title: THICKENED COSMETIC COMPOSITIONS COMPRISING A TAURATE COPOLYMER

(57) Abstract: A cosmetic composition is provided which includes an alpha- or beta-hydroxycarboxylic acid at least partially neutralized and a taurate copolymer in a low pH system. The taurate copolymer improves skin feel and provides viscosity to the system.

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THICKENED COSMETIC COMPOSITIONS COMPRISING A TAURATE COPOLYMER

The invention relates to improved thickening systems for cosmetic compositions, particularly those in lotion and 5 cream form.

Aqueous cosmetic compositions often require thickeners to achieve an aesthetically pleasing viscosity. Fluids that flow with a watery consistency too rapidly run off the 10 treated skin areas. For a cosmetic to be effective, it often must have substantivity. Thickeners provide this substantivity. Furthermore, low viscosity formulas which may be skin effective nevertheless through their wateriness signal ineffectiveness to the consumer. Products of watery 15 consistency are also aesthetically displeasing to consumers with expectations of rich and creamy products.

U.S. Patent 5,422,112 (Williams) discloses a triple thickener system including xanthan gum, magnesium aluminum silicate and polyacrylamide. The compositions are said to 20 be particularly effective for thickening alpha-hydroxy carboxylic acids and salts thereof, especially at low pH.

U.S. Patent 5,874,095 (Deckner et al.) reports an enhanced skin penetration system for improved topical delivery of drugs. Essential to the system is a nonionic polyacrylamide 25 of high molecular weight described as effective at low pH.

U.S. Patent 5,952,395 (Lorant) and U.S. Patent 5,891,452 (Sebillote-Arnaud et al.) describe cosmetic compositions gelled into an emulsion with a cross-linked poly(2-acrylamido-2-methylpropanesulfonic acid).

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Countless numbers of other thickening agents are known in the literature. Perhaps this plethora intimates that not all thickening agents are equally effective for any particular type of formulation.

5 Indeed, there are some formulations which are extremely difficult to thicken, and even if initially thickened may have storage stability problems. Low pH systems are particularly sensitive and difficult.

10 Accordingly, it is an advantage of the present invention to provide a thickener system and thickened cosmetic compositions of sufficiently aesthetically pleasing viscosity and skinfeel.

15 It is another advantage of the present invention to provide thickening systems for cosmetic compositions that are effective at low pH.

20 It is still another advantage of the present invention to provide thickening systems for water and oil emulsion cosmetic compositions that also function as stabilizers preventing phase separation.

These and other advantages of the present invention will more readily become apparent from the description and examples which follow.

25 A cosmetic composition is provided which includes:

(i) from 0.01 to 20% of a C<sub>1</sub>-C<sub>25</sub> alpha- or beta-hydroxycarboxylic acid at least partially present as a salt thereof;

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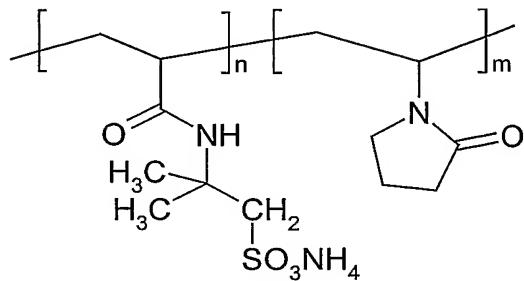
- (ii) from 0.01 to 10% of a taurate copolymer; and
- (iii) a cosmetically acceptable carrier, wherein the composition has a pH of less than 6.

5 Now it has been discovered that taurate copolymers are highly effective thickening agents for low pH cosmetic compositions. This system is particularly useful for building viscosity in relatively acidic compositions, especially those containing C<sub>1</sub>-C<sub>25</sub> alpha- or beta-  
10 hydroxycarboxylic acids. Beyond building viscosity, the thickening system of this invention have the further advantage of stabilizing oil and water emulsions and providing a good skin feel.

Accordingly, a first element of compositions according to  
15 the present invention is that of a taurate copolymer. A particularly preferred copolymer is one wherein the taurate repeating monomer unit is acryloyl dimethyl taurate (in either free acid or salt form). Monomers forming the copolymer with taurate may include: styrene, acrylic acid,  
20 methacrylic acid, vinyl chloride, vinyl acetate, vinyl pyrrolidone, isoprene, vinyl alcohol, vinyl methylether, chloro-styrene, dialkylamino-styrene, maleic acid, acrylamide, methacrylamide and mixtures thereof. Where the term "acid" appears, the term means not only the free acid  
25 but also C<sub>1</sub>-C<sub>30</sub> alkyl esters, anhydrides and salts thereof. Preferably but not exclusively the salts may be ammonium, alkanolammonium, alkali metal and alkaline earth metal salts. Most preferred are the ammonium and alkanolammonium salts.

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Most preferred as the copolymer is Acryloyl Dimethyltaurate/Vinyl Pyrrolidone Copolymer, which is the INCI nomenclature, for a material supplied by Clariant Corporation under the trademark Aristoflex® AVC, having the 5 following general formula:



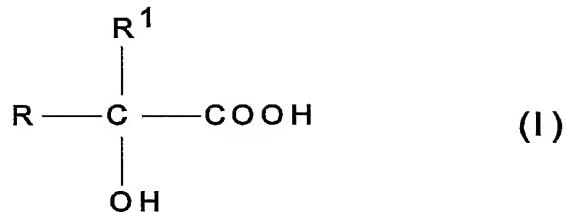
wherein n and m are integers which may independently vary from 1 to 10,000.

Average molecular weight of copolymers according to the 10 invention may range from 1,000 to 3,000,000, preferably from 3,000 to 100,000, optimally from 10,000 to 80,000.

Amounts of the taurate copolymer may range from 0.001 to 10%, preferably from 0.01 to 8%, more preferably from 0.1 to 5%, optimally from 0.2 to 1% by weight of the composition.

15 A second element of the compositions according to the present invention is an alpha- or beta-hydroxycarboxylic acid or salt thereof. The former may be a C<sub>1</sub>-C<sub>25</sub> alpha-hydroxycarboxylic acid of formula I

- 5 -



wherein R and R<sup>1</sup> are independently H, F, Cl, Br, alkyl, aralkyl or aryl groups being saturated or unsaturated, 5 isomeric or nonisomeric, straight or branched chain, or in cyclic form having 5 or 6 ring members, and in addition, R and R<sup>1</sup> may carry OH, CHO, COOH and alkoxy groups having 1 to 9 carbon atoms, the  $\alpha$ -hydroxyacid existing as a free acid or lactone form, or in salt form with an organic amine base or 10 an inorganic alkali, and as stereoisomers, and D, L, and DL forms when R and R<sup>1</sup> are not identical.

Illustrative of this group of materials are 2-hydroxyethanoic acid (glycolic acid); 2-hydroxypropanoic acid (lactic acid); 2-methyl 2-hydroxypropanoic acid (methyl lactic acid); 2-hydroxybutanoic acid; 2-hydroxypentanoic acid; 2-hydroxyhexanoic acid; 2-hydroxyheptanoic acid; 2-hydroxyoctanoic acid; 2-hydroxynonanoic acid; 2-hydroxydecanoic acid; 2-hydroxyundecanoic acid; 2-hydroxydodecanoic acid ( $\alpha$ -hydroxylauric acid); 2-hydroxytetradecanoic acid ( $\alpha$ -hydroxymyristic acid); 2-hydroxyhexadecanoic acid ( $\alpha$ -hydroxypalmitic acid); 2-hydroxyoctadecanoic acid ( $\alpha$ -hydroxystearic acid); 2-hydroxyeicosanoic acid ( $\alpha$ -hydroxyarachidonic acid); 2-phenyl 2-hydroxyethanoic acid

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(mandelic acid); 2,2-diphenyl 2-hydroxyethanoic acid  
(benzilic acid); 3-phenyl 2-hydroxypropanoic acid  
(phenyllactic acid); 2-phenyl 2-methyl 2-hydroxyethanoic  
acid (atrolactic acid); 2-(4'-hydroxyphenyl) 2-  
5 hydroxyethanoic acid; 2-(4'-chlorophenyl 2-hydroxyethanoic  
acid; 2-(3'-hydroxy-4'-methoxyphenyl) 2-hydroxyethanoic  
acid; 2-(4'-hydroxy-3'-methoxyphenyl) 2-hydroxyethanoic  
acid; 3-(2-hydroxyphenyl) 2-hydroxypropanoic acid; 3-(4'-  
10 hydroxyphenyl) 2-hydroxypropanoic acid; and 2-(3',4'-  
dihydroxyphenyl) 2-hydroxyethanoic acid.

Most preferred of this group of materials are glycolic acid,  
lactic acid, and 2-hydroxyoctanoic acids. The salts may be  
selected from alkali metal, ammonium and C<sub>1</sub>-C<sub>20</sub> alkyl or  
15 alkanolammonium counterions.

Advantageously, the hydroxycarboxylic acid will be fully  
neutralized as the potassium, sodium, ammonium or  
alkanolammonium salt. At least 1%, preferably at least 10%,  
and optimally at least 20% will be present as the salt form.  
20 Also effective are mixtures of acid and salt forms ranging  
in molar ratio from 1000:1 to 1:1000, preferably from 100:1  
to 1:100, more preferably from 50:1 to 1:1, optimally from  
20:1 to 2:1.

The beta-hydroxycarboxylic acids are best illustrated by  
25 salicylic acid and its derivatives. Levels of the  
hydroxycarboxylic acids may range from 0.01 to 20%,  
preferably from 0.2 to 10%, optimally from 1 to 5% by  
weight.

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Compositions of the present invention may either be aqueous or anhydrous. Preferably the compositions are aqueous, especially water and oil emulsions of the W/O or O/W variety. Water when present will be in amounts which may range from 5 to 90%, preferably from 35 to 70%, optimally between 40 and 60% by weight.

The pH of compositions of this invention is less than 7. Advantageously, pH may range from 1 to 6, preferably from 2 to 5, optimally from 2.5 to 3.8.

10 Emollient materials in the form of mineral oils, silicone oils and synthetic esters may be incorporated into compositions of the present invention. Amounts of the emollients if incorporated may range anywhere from 0.1 to 30%, preferably between 0.5 and 20% by weight.

15 Silicone oils may be divided into the volatile and non-volatile variety. The term "volatile" as used herein refers to those materials which have a measurable vapor pressure at ambient temperature. Volatile silicone oils are preferably chosen from cyclic or linear polydimethylsiloxanes

20 containing from 3 to 9, preferably from 4 to 5, silicon atoms.

Linear volatile silicone materials generally have viscosities less than about 5 centistokes at 25°C while cyclic materials typically have viscosities of less than 25 about 10 centistokes.

Nonvolatile silicone oils useful as an emollient material include polyalkyl siloxanes, polyalkylaryl siloxanes and polyether siloxane copolymers. The essentially non-volatile

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polyalkyl siloxanes useful herein include, for example, polydimethyl siloxanes with viscosities of from about 5 to about 100,000 centistokes at 25°C.

Among suitable ester emollients are:

5

- (1) Alkenyl or alkyl esters of fatty acids having 10 to 20 carbon atoms. Examples thereof include isopropyl palmitate, isopropyl isostearate, isononyl isonanonoate, oleyl myristate, oleyl stearate, and oleyl oleate.
- (2) Ether-esters such as fatty acid esters of ethoxylated fatty alcohols.

15

- (3) Polyhydric alcohol esters. Ethylene glycol mono and di-fatty acid esters, diethylene glycol mono- and di-fatty acid esters, polyethylene glycol (200-6000) mono- and di-fatty acid esters, propylene glycol mono- and di-fatty acid esters, polypropylene glycol 2000 monooleate, polypropylene glycol 2000 monostearate, ethoxylated propylene glycol monostearate, glyceryl mono- and di-fatty acid esters, polyglycerol poly-fatty esters, ethoxylated glyceryl monostearate, 1,3-butylene glycol monostearate, 1,3-butylene glycol distearate, polyoxyethylene polyol fatty acid ester, sorbitan fatty acid esters, and polyoxyethylene sorbitan fatty acid esters are satisfactory polyhydric alcohol esters.

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25

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(4) Wax esters such as beeswax, spermaceti, myristyl myristate, stearyl stearate.

5 (5) Sterols esters, of which soya sterol and cholesterol fatty acid esters are examples thereof.

The most preferred esters are dicaprylyl ether and isopropyl isostearate.

10 Fatty acids having from 10 to 30 carbon atoms may also be included in the compositions of this invention. Illustrative of this category are pelargonic, lauric, myristic, palmitic, stearic, isostearic, hydroxystearic, oleic, linoleic, ricinoleic, arachidic, behenic and erucic acids.

15 Humectants of the polyhydric alcohol-type may also be included in the compositions of this invention. The humectant aids in increasing the effectiveness of the emollient, reduces scaling, stimulates removal of built-up scale and improves skin feel. Typical polyhydric alcohols include glycerol (also known as glycerin), polyalkylene glycols and more preferably alkylene polyols and their derivatives, including propylene 20 glycol, dipropylene glycol, polypropylene glycol, polyethylene glycol and derivatives thereof, sorbitol, hydroxypropyl sorbitol, hexylene glycol, 1,3-butylene glycol, 1,2,6-hexanetriol, ethoxylated glycerol, propoxylated glycerol and mixtures thereof. For best results the humectant is 25 preferably glycerin. The amount of humectant if present may range anywhere from 0.5 to 30%, preferably between 1 and 15% by weight of the composition.

Collectively the water, solvents, silicones, esters, fatty acids and/or humectants are viewed as cosmetically acceptable

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carriers for the compositions of the invention. Total amount of carrier will typically range from 1 to 99.9%, preferably from 80 to 99% by weight.

5 Cosmetic compositions of the present invention may be in any form. These forms may include lotions, creams, roll-on formulations, mousses, aerosol sprays and cloth- or pad-applied formulations.

10 Emulsifiers may also be present in cosmetic compositions of the present invention. Total concentration of the emulsifier if present will range from 0.1 to 40%, preferably from 1 to 20%, optimally from 1 to 5% by weight of the total composition. The emulsifier may be selected from the group consisting of anionic, nonionic, cationic and amphoteric actives. Particularly preferred nonionic surfactants are 15 those with a C<sub>10</sub>-C<sub>20</sub> fatty alcohol or acid hydrophobe condensed with from 2 to 100 moles of ethylene oxide or propylene oxide per mole of hydrophobe; C<sub>2</sub>-C<sub>10</sub> alkyl phenols condensed with from 2 to 20 moles of alkylene oxide; mono- and di- fatty acid esters of ethylene glycol; fatty acid 20 monoglyceride; sorbitan, mono- and di- C<sub>8</sub>-C<sub>20</sub> fatty acids; and polyoxyethylene sorbitan as well as combinations thereof. Alkyl polyglycosides and saccharide fatty amides (e.g. methyl gluconamides) are also suitable nonionic emulsifiers.

25 Preferred anionic emulsifiers include soap, alkyl ether sulfate and sulfonates, alkyl sulfates and sulfonates, alkylbenzene sulfonates, alkyl and dialkyl sulfosuccinates, C<sub>8</sub>-C<sub>20</sub> acyl isethionates, C<sub>8</sub>-C<sub>20</sub> alkyl ether phosphates, alkylethercarboxylates and combinations thereof.

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Sunscreen actives may also be included in compositions of the present invention. Particularly preferred are such materials as Avobenzene, available as Parsol® 1789, ethylhexyl p-methoxycinnamate, available as Parsol® MCX, and benzophenone-

5 3, also known as Oxybenzone. Inorganic sunscreen actives may be employed such as microfine titanium dioxide, polyethylene and various other polymers. Amounts of the sunscreen agents if present will generally range from 0.1 to 30%, preferably from 2 to 20%, optimally from 4 to 10% by weight.

10 Preservatives can desirably be incorporated into the cosmetic compositions of this invention to protect against the growth of potentially harmful microorganisms. Suitable traditional preservatives for compositions of this invention are alkyl esters of para-hydroxybenzoic acid. Other preservatives which  
15 have more recently come into use include hydantoin derivatives, propionate salts, and a variety of quaternary ammonium compounds. Cosmetic chemists are familiar with appropriate preservatives and routinely choose them to satisfy the preservative challenge test and to provide product  
20 stability. Particularly preferred preservatives are iodopropynyl butyl carbamate, phenoxyethanol, methyl paraben, propyl paraben, imidazolidinyl urea, sodium dehydroacetate and benzyl alcohol. The preservatives should be selected having regard for the use of the composition and possible  
25 incompatibilities between the preservatives and other ingredients in the emulsion. Preservatives are preferably employed in amounts ranging from 0.01% to 2% by weight of the composition.

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Co-thickening agents may be included in compositions of the present invention. Particularly useful are the polysaccharides. Examples include starches, natural/synthetic gums and cellulosics. Representative of the starches are

5 chemically modified starches such as aluminum starch octenylsuccinate. Suitable gums include xanthan, sclerotium, pectin, karaya, arabic, agar, guar, carrageenan, alginate and combinations thereof. Suitable cellulosics include hydroxypropyl cellulose, hydroxypropyl methylcellulose,

10 ethylcellulose and sodium carboxy methylcellulose. Most preferred is aluminum starch octenylsuccinate.

Amounts of the co-thickener may range from 0.001 to 5%, preferably from 0.1 to 2%, optimally from 0.2 to 0.5% by weight.

15 For additional thickening, it is preferred to have magnesium aluminum silicate, commercially available as Veegum®, sold by the R.T. Vanderbilt Company. Amounts of this inorganic thickening agent if present may range from 0.01 to 10%, preferably from 0.5 to 1.2% by weight.

20 Minor adjunct ingredients may also be present in the cosmetic compositions. Among them may be the water-insoluble vitamins such as Vitamin A Palmitate, Vitamin E Acetate and DL-panthenol. Also useful are: retinol, ceramides and herbal extracts including green tea and chamomile.

25 Colorants, fragrances and abrasives may also be included in compositions of the present invention. Each of these substances may range from 0.05 to 5%, preferably between 0.1 and 3% by weight.

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Compositions of the present invention advantageously will have viscosities ranging from 500 to 100,000, preferably from 1,000 to 60,000, optimally from 1,500 to 30,000 cps.

These viscosities are measured on a Brookfield RVT

5 Viscometer, Spindle 4, at 20 rpm and 23°C.

Except in the operating and comparative examples, or where otherwise explicitly indicated, all numbers in this description indicating amounts of material ought to be understood as modified by the word "about".

10 The term "comprising" is meant not to be limiting to any subsequently stated elements but rather to encompass non-specified elements of major or minor functional importance. In other words the listed steps, elements or options need not be exhaustive. Whenever the words "including" or  
15 "having" are used, these terms are meant to be equivalent to "comprising" as defined above.

The following Examples will more fully illustrate the embodiments of this invention. All parts, percentages and proportions referred to herein and in the appended claims are  
20 by weight unless otherwise indicated.

#### EXAMPLES 1-8

Typical formulations according to the present invention are described below.



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EXAMPLE 9

A series of comparative experiments were conducted to measure the relative thickening effectiveness of various polymers in low pH systems containing hydroxycarboxylic acid. Table 1 reports a series of viscosity measurements on a gel solution consisting of 98% water and 2% thickener. Measurements were conducted using a Brookfield RVT instrument, Spindle No. 4 at 20 rpm and 23°C. The most efficient thickener was Aristoflex® AVC (Sample 1G).

10

TABLE 1\*

Sample	Thickener	Viscosity (cps)	pH
1A	Sepigel® 305	19,995	6.0
1B	Simulgel® EG	23,900	6.8
1C	Simulgel® NS	16,600	5.5
1D	Stabylen® 30	15,850	2.9
1E	Synthalen® CR	27,750	4.0
1F	Carbopol® 934	1,735	2.8
1G	Aristoflex® AVC	40,000	4.8

\* 2% Gel

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The identities of the polymers in Table 1 are as follows:

Thickener	INCI Name
Sepigel® 305	Polyacrylamide/C13-14 Isoparaffin/Laureth-7
Simulgel® EG	Sodium Acrylate/Sodium Acryloyldimethyl Taurate Copolymer/Isohexadecane/Polysorbate 80
Simulgel® NS	Hydroxyethyl Acrylate/Sodium Acryloyldimethyl Taurate Copolymer/Squalane/Polysorbate 60
Stabylen® 30	Acrylates/Vinyl Isodecanoate Crosspolymer
Synthalen® CR	Polyquaternium-37 (Quaternary Acrylic Polymer)
Carbopol® 934	Crosslinked Polyacrylate
Aristoflex® AVC	Acryloyl Taurate/Vinyl Pyrrolidone Copolymer

Table 2 reports the effect of adding glycolic acid (an alpha-5 hydroxycarboxylic acid) to each of the gel solutions. All of the thickened samples decreased in viscosity. However, the Synthalen® CR and Aristoflex® AVC samples still maintained a reasonable level of thickness.

TABLE 2\*

Sample	Thickener	Viscosity (cps)	pH
1A	Sepigel® 305	<1,000	2.0
1B	Simulgel® EG	<100	2.1
1C	Simulgel® NS	<100	2.0
1D	Stabylen® 30	<100	1.9
1E	Synthalen® CR	17,450	1.7
1F	Carbopol® 934	<100	1.9
1G	Aristoflex® AVC	20,500	2.0

10 \* 2% Gel + 8% Glycolic Acid

Tables 3 and 4 illustrates the effect of partially neutralizing the glycolic acid with ammonium hydroxide to result in a partially neutralized acid solution of glycolic

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acid/ammonium glycolate. In these highly stressed, low pH systems, only the Aristoflex® AVC provided even a modest measure of thickening to the hydroxycarboxylic acid systems.

TABLE 3\*

Sample	Thickener	Viscosity (cps)	PH
1A	Sepigel® 305	<1,00	3.0
1B	Simulgel® EG	<100	3.0
1C	Simulgel® NS	<100	3.0
1D	Stabylen® 30	<100	3.0
1E	Synthalen® CR	3,650	2.9
1F	Carbopol® 934	<100	3.0
1G	Aristoflex® AVC	9,060	3.0

5 \* 2% Gel + 8% Glycolic Acid/Ammonium Glycolate (acid to salt molar ratio of 10:1)

TABLE 4\*

Sample	Thickener	Viscosity (cps)	PH
1A	Sepigel® 305	<100	3.6
1B	Simulgel® EG	<100	3.6
1C	Simulgel® NS	<100	3.5
1D	Stabylen® 30	1,445	3.5
1E	Synthalen® CR	<100	3.5
1F	Carbopol® 934	<100	3.5
1G	Aristoflex® AVC	2,555	3.6

\* 2% Gel + 8% Glycolic Acid/Ammonium Glycolate (acid to salt molar ratio of 3.4:1)

CLAIMS

1. A cosmetic composition comprising:

(i) from 0.01 to 20% of a C<sub>1</sub>-C<sub>25</sub> alpha- or beta-hydroxy carboxylic acid at least partially present as a salt thereof;

5 (ii) from 0.01 to 10% of a taurate copolymer; and

(iii) a cosmetically acceptable carrier, wherein the composition has a pH of less than 7.

10

2. A composition according to claim 1 wherein the C<sub>2</sub>-C<sub>25</sub> alpha-hydroxy carboxylic acid is selected from glycolic acid, lactic acid, 2-hydroxyoctanoic acid and combinations thereof.

15

3. A composition according to claim 1 or claim 2 wherein the taurate copolymer is at least partially formed from acryloyl dimethyltaurate as a monomer unit.

20

4. A composition according to any of the preceding claims wherein the hydroxycarboxylic acid and salt thereof are present in a molar ratio of 1000:1 to 1:1000.

25

5. A composition according to claim 4 wherein the hydroxycarboxylic acid and salt thereof are present in a molar ratio of 50:1 to 1:1.

30

6. A composition according to any of claims 3 to 5 wherein the acryloyl dimethyltaurate is copolymerized with a monomer selected from the group consisting of styrene,

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acrylic acid, methacrylic acid, vinyl chloride, vinyl acetate, vinyl pyrrolidone, isoprene, vinyl alcohol, vinyl methylether, chloro-styrene, maleic acid, acrylamide, methacrylamide and mixtures thereof.

# INTERNATIONAL SEARCH REPORT

International Application No  
PCT/EP 02/09117

**A. CLASSIFICATION OF SUBJECT MATTER**  
IPC 7 A61K/48

According to International Patent Classification (IPC) or to both national classification and IPC

**B. FIELDS SEARCHED**

Minimum documentation searched (classification system followed by classification symbols)  
IPC 7 A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the International search (name of data base and, where practical, search terms used)

EPO-Internal, PAJ, WPI Data

**C. DOCUMENTS CONSIDERED TO BE RELEVANT**

Category <sup>a</sup>	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	EP 0 815 845 A (OREAL) 7 January 1998 (1998-01-07) claims 1-3,17,18 examples 1,4,6 page 2, line 17 - line 54 ---	1-6
X	WO 01 28338 A (PROCTER & GAMBLE) 26 April 2001 (2001-04-26) claim 1 example 3 page 41, line 5 - line 9 ---	1-6
X	WO 01 62214 A (COLOR ACCESS INC) 30 August 2001 (2001-08-30) claims 1-3 example 1 page 2, line 11 -page 3, line 14 ---	1-6 -/-

Further documents are listed in the continuation of box C.

Patent family members are listed in annex.

<sup>a</sup> Special categories of cited documents:

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